

(FILE 'HOME' ENTERED AT 16:48:25 ON 22 DEC 2002)

FILE 'REGISTRY' ENTERED AT 16:48:34 ON 22 DEC 2002  
E "3'-DEOXYCYTIDINE"/CN 25

L1 1 S E3  
E "3'-DEOXYURIDINE"/CN 25

L2 1 S E3  
E "3'-DEOXYURIDINE"/CN 25  
E "3'-FLUORO-3'-DEOXYURIDINE"/CN 25

L3 1 S E1

FILE 'CAPLUS, MEDLINE, USPATFULL' ENTERED AT 16:53:35 ON 22 DEC 2002

L4 319 F L3

L5 319 S L3

L6 40 S L5 AND HEPATITIS

L7 4 S L6 AND HEPATITIS C

L8 1 S L7 AND (INTERFERON OR RIBAVIRIN OR AMANTADINE OR RIMANTADINE

=>

FILE 'CAPLUS' ENTERED AT 16:04:28 ON 22 DEC 2002  
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FILE 'MEDLINE' ENTERED AT 16:04:28 ON 22 DEC 2002

FILE 'USPATFULL' ENTERED AT 16:04:28 ON 22 DEC 2002  
CA INDEXING COPYRIGHT (C) 2002 AMERICAN CHEMICAL SOCIETY (ACS)

=> s 12  
L3            80 L2

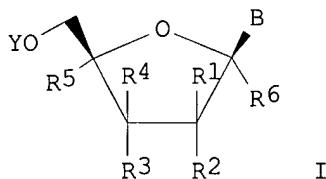
=> s 12 and hepatitis  
L4            9 L2 AND HEPATITIS

=> d 14 1-9 bib abs hitstr

=> d 14 1-9 bib abs hitstr

L4 ANSWER 1 OF 9 CAPLUS COPYRIGHT 2002 ACS  
AN 2002:555629 CAPLUS  
DN 137:125359  
TI Preparation of nucleoside derivatives as inhibitors of RNA-dependent RNA viral polymerase  
IN Carroll, Steven S.; Lafemina, Robert L.; Hall, Dawn L.; Himmelberger, Amy L.; Kuo, Lawrence C.; Maccoss, Malcolm; Olsen, David B.; Rutkowski, Carrie A.; Tomassini, Joanne E.; An, Haoyun; Bhat, Balkrishen; Bhat, Neelima; Cook, Phillip Dan; Eldrup, Anne B.; Guinocco, Charles J.; Prhavc, Marija; Prakash, Thazha P.  
PA Merck & Co., Inc., USA; Isis Pharmaceuticals, Inc.  
SO PCT Int. Appl., 235 pp.  
CODEN: PIXXD2  
DT Patent  
LA English  
FAN.CNT 2

| PATENT NO.           | KIND | DATE   | APPLICATION NO. | DATE     |  |
|----------------------|------|--|-----------------|----------|--|
| PI WO 2002057425     | A2   | 20020725   | WO 2002-US1531  | 20020118 |  |
|                      | W:   | AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM |                 |          |  |
|                      | RW:  | GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG   |                 |          |  |
| PI US 2002147160     | A1   | 20021010   | US 2002-52318   | 20020118 |  |
| PRAI US 2001-263313P | P    | 20010122   |                 |          |  |
| US 2001-282069P      | P    | 20010406   |                 |          |  |
| US 2001-299320P      | P    | 20010619   |                 |          |  |
| US 2001-344528P      | P    | 20011025   |                 |          |  |
| OS MARPAT 137:125359 |      |  |                 |          |  |
| GI                   |      |  |                 |          |  |



AB The present invention provides the prepn. of nucleoside compds. I, wherein B is nucleobase, Y is H, alkylcarbonyl, phosphate; R1 is H, alkenyl, alkynyl, alkyl; R2 and R3 are independently H, OH, halogen, alkyl, alkoxy, alkenyloxy, alkylthio, alkylcarbonyloxy, aryloxycarbonyl, azido, amino, alkylamino; R1 and R2 together with the carbon atom to which they are attached form a 3- to 6-membered heterocycle; R4 is H, OH, SH, NH<sub>2</sub>, alkylamino, cycloalkylamino, halogen, alkyl, alkoxy, CF<sub>3</sub>; R5 and R6 are independently H, hydroxymethyl, Me, fluoromethyl; and certain derivs. thereof which are inhibitors of RNA-dependent RNA viral polymerase. These compds. are inhibitors of RNA-dependent RNA viral replication and are useful for the treatment of RNA-dependent RNA viral infection. They are particularly useful as inhibitors of hepatitis C virus (HCV) NS5B polymerase, as inhibitors of HCV replication, and/or for the treatment of hepatitis C infection. The invention also describes pharmaceutical compns. contg. such nucleoside compds. alone or

in combination with other agents active against RNA-dependent RNA viral infection, in particular HCV infection. Also disclosed are methods of inhibiting RNA-dependent RNA polymerase, inhibiting RNA-dependent RNA viral replication, and/or treating RNA-dependent RNA viral infection with the nucleoside compds. of the present invention. Thus, 4-amino-1-(2-C-methyl-.beta.-D-ribofuranosyl)-1H-pyrazolo[3,4-d]pyrimidine was prep'd. as inhibitors of RNA-dependent RNA viral polymerase. Representative compds. tested in the HCV NS5B polymerase assay exhibited IC's less than 100 .mu.M. The compds. of the present invention were also evaluated for their ability to affect the replication of **Hepatitis** C Virus RNA in cultured hepatoma (HuH-7) cells contg. a sub-genomic HCV Replicon.

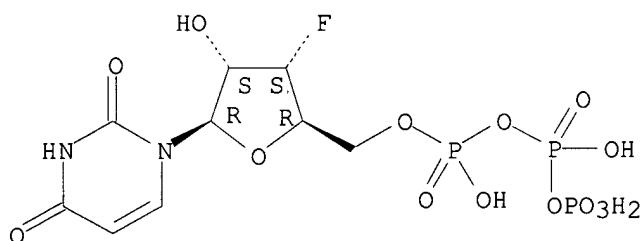
IT 123402-24-4P 123402-25-5P

RL: IMF (Industrial manufacture); PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(prep'n. of nucleoside derivs. as inhibitors of RNA-dependent human RNA viral polymerase)

RN 123402-24-4 CAPLUS

CN Uridine 5'-(tetrahydrogen triphosphate), 3'-deoxy-3'-fluoro- (9CI) (CA INDEX NAME)

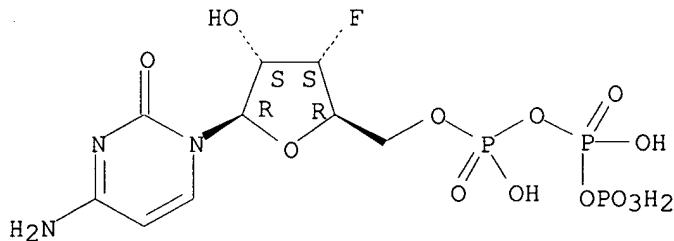
Absolute stereochemistry.



RN 123402-25-5 CAPLUS

CN Cytidine 5'-(tetrahydrogen triphosphate), 3'-deoxy-3'-fluoro- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L4 ANSWER 2 OF 9 CAPLUS COPYRIGHT 2002 ACS

AN 2002:504634 CAPLUS

DN 137:57536

TI Remedies for **hepatitis** C

IN Morioka, Masahiko; Ubasawa, Masaru; Arai, Masaaki

PA Mitsubishi Pharma Corporation, Japan

SO PCT Int. Appl., 38 pp.

CODEN: PIXXD2

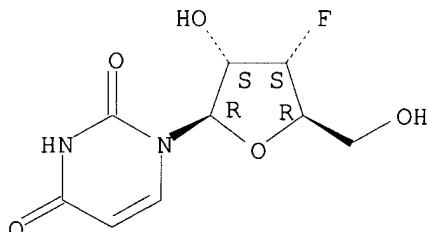
DT Patent

LA Japanese

FAN.CNT 1

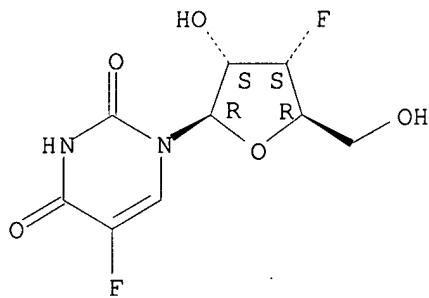
| PATENT NO.          | KIND   | DATE   | APPLICATION NO. | DATE     |
|---------------------|--|--|-----------------|----------|
| PI WO 2002051425    | A1   | 20020704   | WO 2001-JP11365 | 20011225 |
|                     | W:   | AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM |                 |          |
|                     | RW:  | GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG   |                 |          |
| PRAI JP 2000-394620 | A  | 20001226   |                 |          |
|                     | JP 2001-23542  | A  | 20010131        |          |
|                     | JP 2001-105585   | A  | 20010404        |          |
| OS MARPAT 137:57536 |  |  |                 |          |
| AB                  | Excellent remedies for <b>hepatitis C</b> which contain as the active ingredients a 3'-deoxy-3'-fluorouridine deriv. and a 1-(3'-deoxy-3'-fluoro-.beta.-L-ribofuranosyl)uracil deriv. and show little side effects.  |  |                 |          |
| IT                  | <b>57944-13-5DP</b> , 3'-Deoxy-3'-fluorouridine, derivs.<br>112668-56-1P 123402-24-4P 125217-37-0P<br>439579-20-1P 439579-21-2P 439579-22-3P<br>439579-24-5P 439579-25-6P 439579-26-7P<br>439579-28-9P 439579-32-5P 439579-34-7P<br>439579-36-9P 439579-37-0P 439579-38-1P<br>439579-40-5P 439579-41-6P 439579-42-7P<br>439579-43-8P |  |                 |          |
|                     | RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)<br>(deoxy-3'-fluorouridine deriv. and a 1-(3'-deoxy-3'-fluoro--L-ribofuranosyl)uracil deriv. as remedies for <b>hepatitis C</b> )   |  |                 |          |
| RN                  | 57944-13-5 CAPPLUS   |  |                 |          |
| CN                  | Uridine, 3'-deoxy-3'-fluoro- (9CI) (CA INDEX NAME)   |  |                 |          |

Absolute stereochemistry.



RN 112668-56-1 CAPPLUS  
CN Uridine, 3'-deoxy-3',5-difluoro- (9CI) (CA INDEX NAME)

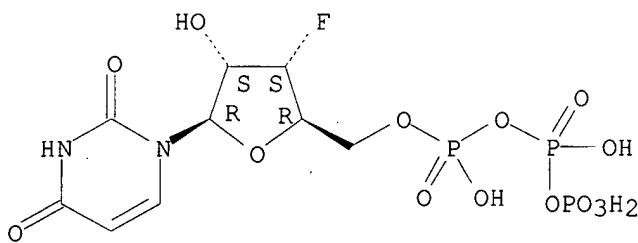
Absolute stereochemistry.



RN 123402-24-4 CAPLUS

CN Uridine 5'-(tetrahydrogen triphosphate), 3'-deoxy-3'-fluoro- (9CI) (CA INDEX NAME)

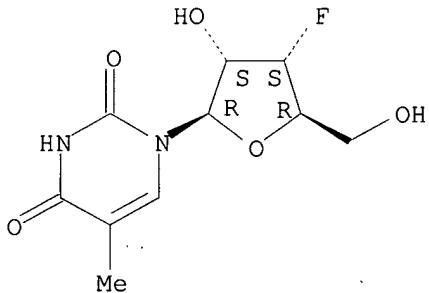
Absolute stereochemistry.



RN 125217-37-0 CAPLUS

CN Uridine, 3'-deoxy-3'-fluoro-5-methyl- (9CI) (CA INDEX NAME)

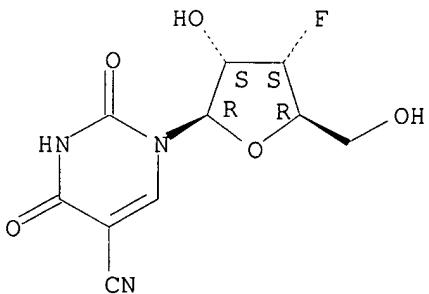
Absolute stereochemistry.

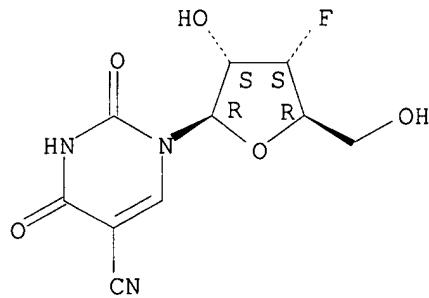


RN 439579-20-1 CAPLUS

CN Uridine, 5-cyano-3'-deoxy-3'-fluoro- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

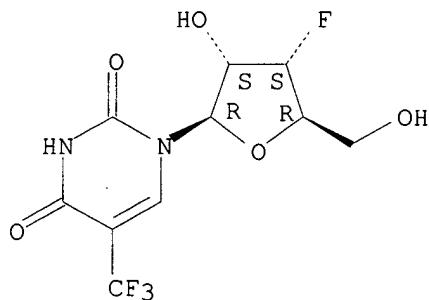




RN 439579-21-2 CAPLUS

CN Uridine, 3'-deoxy-3'-fluoro-5-(trifluoromethyl)- (9CI) (CA INDEX NAME)

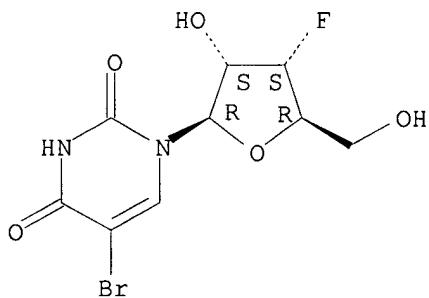
Absolute stereochemistry.



RN 439579-22-3 CAPLUS

CN Uridine, 5-bromo-3'-deoxy-3'-fluoro- (9CI) (CA INDEX NAME)

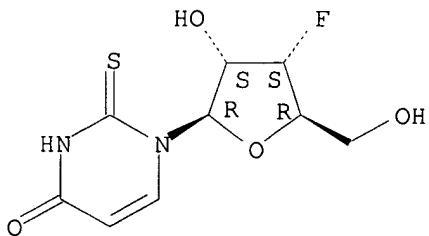
Absolute stereochemistry.



RN 439579-24-5 CAPLUS

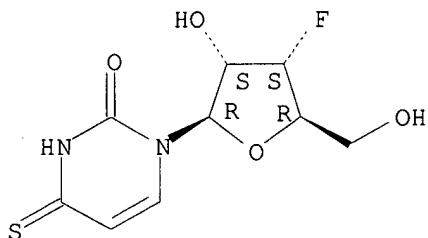
CN Uridine, 3'-deoxy-3'-fluoro-2-thio- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



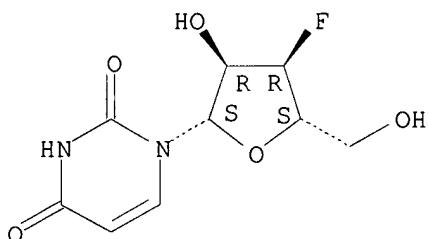
RN 439579-25-6 CAPLUS  
CN Uridine, 3'-deoxy-3'-fluoro-4-thio- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



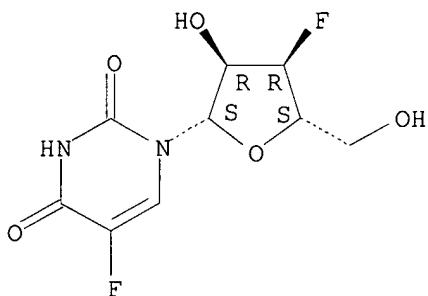
RN 439579-26-7 CAPLUS  
CN 2,4(1H,3H)-Pyrimidinedione, 1-(3-deoxy-3-fluoro-.beta.-L-ribofuranosyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



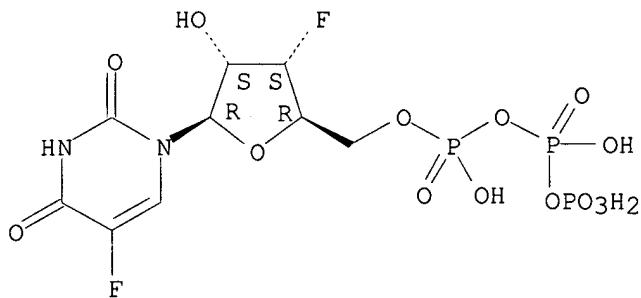
RN 439579-28-9 CAPLUS  
CN 2,4(1H,3H)-Pyrimidinedione, 1-(3-deoxy-3-fluoro-.beta.-L-ribofuranosyl)-5-fluoro- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 439579-32-5 CAPLUS  
CN Uridine 5'-(tetrahydrogen triphosphate), 3'-deoxy-3',5-difluoro- (9CI) (CA INDEX NAME)

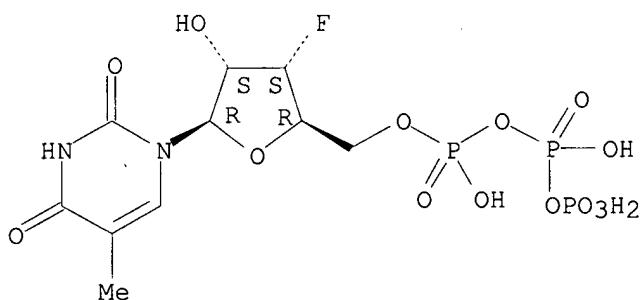
Absolute stereochemistry.



RN 439579-34-7 CAPLUS

CN Uridine 5'- (tetrahydrogen triphosphate), 3'-deoxy-3'-fluoro-5-methyl- (9CI) (CA INDEX NAME)

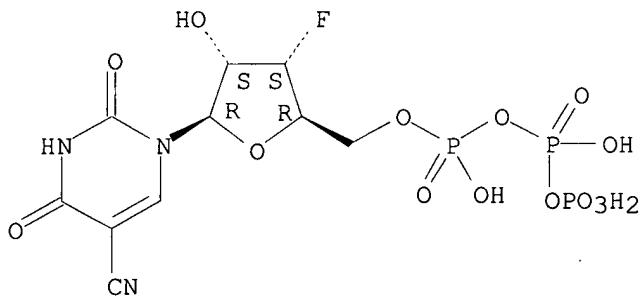
Absolute stereochemistry.



RN 439579-36-9 CAPLUS

CN Uridine 5'- (tetrahydrogen triphosphate), 5-cyano-3'-deoxy-3'-fluoro- (9CI) (CA INDEX NAME)

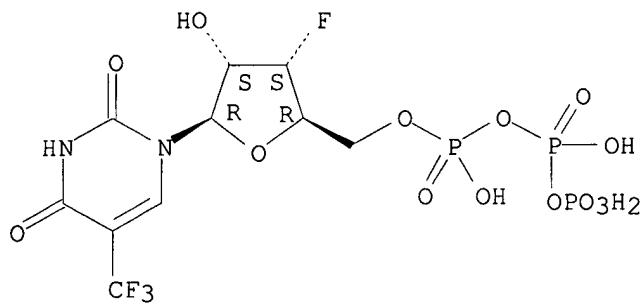
Absolute stereochemistry.



RN 439579-37-0 CAPLUS

CN Uridine 5'- (tetrahydrogen triphosphate), 3'-deoxy-3'-fluoro-5- (trifluoromethyl)- (9CI) (CA INDEX NAME)

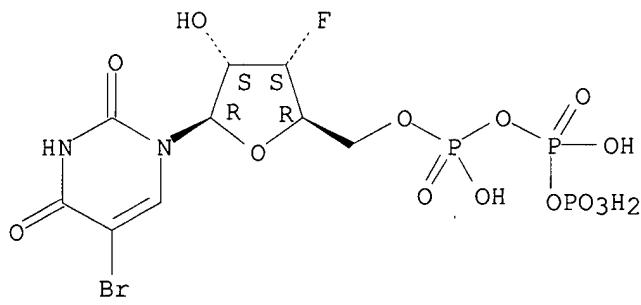
Absolute stereochemistry.



RN 439579-38-1 CAPLUS

CN Uridine 5'- (tetrahydrogen triphosphate), 5-bromo-3'-deoxy-3'-fluoro- (9CI)  
(CA INDEX NAME)

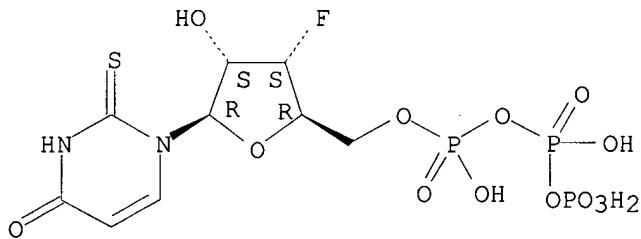
Absolute stereochemistry.



RN 439579-40-5 CAPLUS

CN Uridine 5'- (tetrahydrogen triphosphate), 3'-deoxy-3'-fluoro-2-thio- (9CI)  
(CA INDEX NAME)

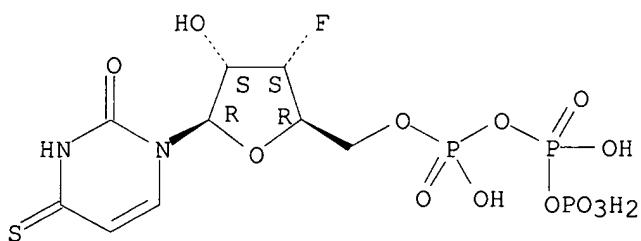
Absolute stereochemistry.

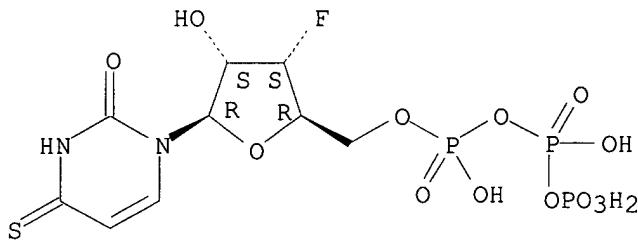


RN 439579-41-6 CAPLUS

CN Uridine 5'- (tetrahydrogen triphosphate), 3'-deoxy-3'-fluoro-4-thio- (9CI)  
(CA INDEX NAME)

Absolute stereochemistry.

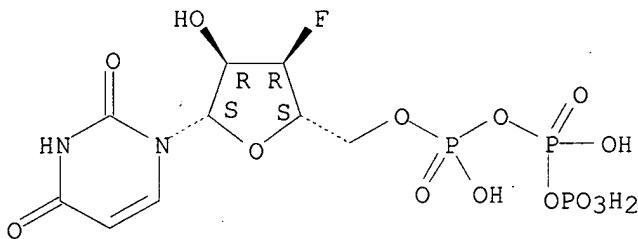




RN 439579-42-7 CAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, 1-[3-deoxy-3-fluoro-5-O-[hydroxy[[hydroxy(phosphonoxy)phosphinyl]oxy]phosphinyl]-.beta.-L-ribofuranosyl]- (9CI) (CA INDEX NAME)

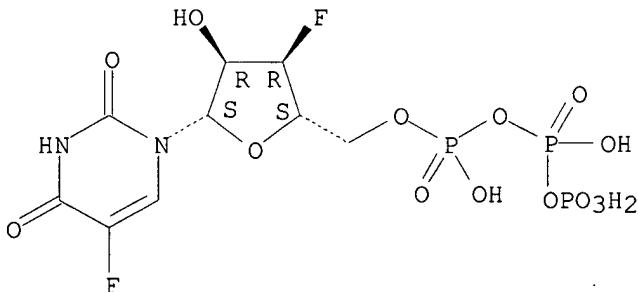
Absolute stereochemistry.



RN 439579-43-8 CAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, 1-[3-deoxy-3-fluoro-5-O-[hydroxy[[hydroxy(phosphonoxy)phosphinyl]oxy]phosphinyl]-.beta.-L-ribofuranosyl]-5-fluoro- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RE.CNT 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 3 OF 9 CAPLUS COPYRIGHT 2002 ACS

AN 2002:314958 CAPLUS

DN 136:340939

TI Preparation of modified nucleosides for treatment of viral infections and abnormal cellular proliferation

IN Stuyver, Lieven; Watanabe, Kyoichi A.

PA Pharmasset Limited, USA

SO PCT Int. Appl., 230 pp.

CODEN: PIXXD2

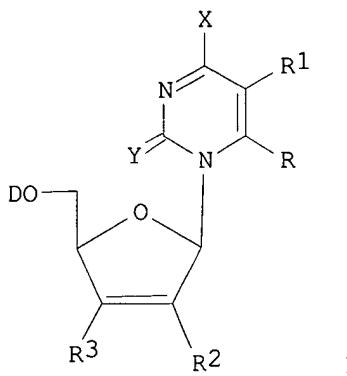
DT Patent

LA English

FAN.CNT 2

| PATENT NO.           | KIND | DATE     | APPLICATION NO.  | DATE     |
|----------------------|------|----------|--|----------|
| PI WO 2002032920     | A2   | 20020425 | WO 2001-US46113  | 20011018 |
|                      |      |          | W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,<br>CO, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM,<br>HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS,<br>LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO,<br>RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ,<br>VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM<br>RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY,<br>DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF,<br>BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG |          |
| AU 2002028749        | A5   | 20020429 | AU 2002-28749  | 20011018 |
| PRAI US 2000-241488P | P    | 20001018 |  |          |
| US 2001-282156P      | P    | 20010406 |  |          |
| WO 2001-US46113      | W    | 20011018 |  |          |

GI



AB Modified nucleosides, e.g. I, wherein D is hydrogen, alkyl, acyl, monophosphate, diphosphate, triphosphate, monophosphate ester, diphosphate ester, triphosphate ester, phospholipid or amino acid; X is H, halogen, NH<sub>2</sub>, substituted amine, oxime, OH, alkoxy, SH, thioalkyl; Y is O, S, Se; R and R1 are independently H, alkyl, alkenyl, alkynyl, aryl, alkylaryl, halogen, NH<sub>2</sub>, substituted amine, oxime, hydrazine, OH, alkoxy, SH, thioalkyl, NO<sub>2</sub>, NO, CH<sub>2</sub>OH, CH<sub>2</sub>OH, ester, CONH<sub>2</sub>, amide, CN; R2 and R3 are independently H, halogen, OH, SH, OMe, SMe, NH<sub>2</sub>, NHMe, CH:CH<sub>2</sub>, CN, CH<sub>2</sub>NH<sub>2</sub>, CH<sub>2</sub>OH, CO<sub>2</sub>H; were prep'd. for treating a Flaviviridae (including BVDV and HCV), Orthomyxoviridae (including Influenza A and B) or Paramyxoviridae (including RSV) infection, or conditions related to abnormal cellular proliferation, in a host, including animals, and esp. humans. This invention also provides an effective process to quantify the viral load, and in particular BVDV, HCV or West Nile Virus load, in a host, using real-time polymerase chain reaction ("TR-PCR"). Addnl., the invention discloses probe mols. that can fluoresce proportionally to the amt. of virus present in a sample. Thus, (1'R,2'S,3'R,4'R)-1-[2,3-dihydroxy-4-(hydroxymethyl)cyclopentan-1-yl]-5-fluorocytosine was prep'd. and tested in vitro as antiviral and antitumor agent.

IT 60786-48-3P 415704-55-1P

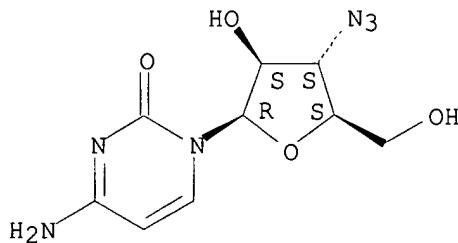
RL: IMF (Industrial manufacture); PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(prep'n. of modified nucleosides for treatment of viral infections and abnormal cellular proliferation)

RN 60786-48-3 CAPLUS

CN 2(1H)-Pyrimidinone, 4-amino-1-(3-azido-3-deoxy-.beta.-D-arabinofuranosyl)-

(9CI) (CA INDEX NAME)

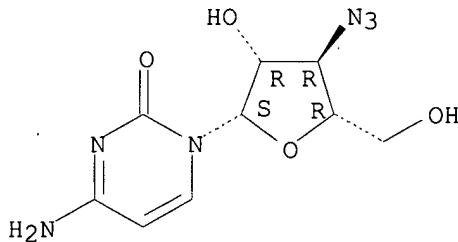
Absolute stereochemistry.



RN 415704-55-1 CAPLUS

CN 2(1H)-Pyrimidinone, 4-amino-1-(3-azido-3-deoxy-.beta.-L-arabinofuranosyl)-  
(9CI) (CA INDEX NAME)

Absolute stereochemistry.



L4 ANSWER 4 OF 9 CAPLUS COPYRIGHT 2002 ACS

AN 2002:171918 CAPLUS

DN 136:217007

TI Preparation of antiviral nucleoside derivatives as inhibitors of subgenomic hepatitis C virus RNA replication

IN Devos, Rene; Dymock, Brian William; Hobbs, Christopher John; Jiang, Wen-rong; Martin, Joseph Armstrong; Merrett, John Herbert; Najera, Isabel; Shimma, Nobuo; Tsukuda, Takuo

PA F. Hoffmann-La Roche Ag, Switz.

SO PCT Int. Appl., 225 pp.

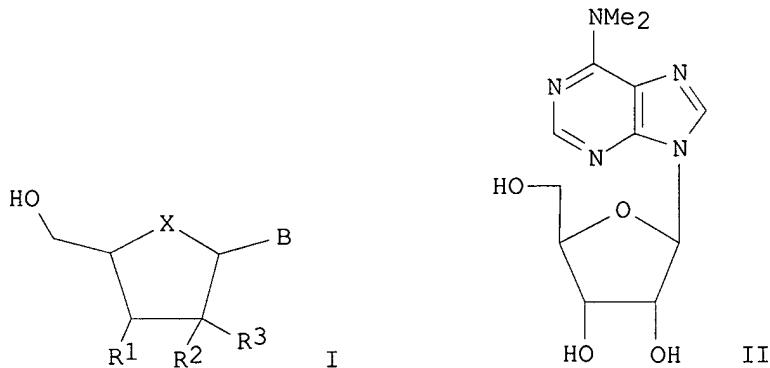
CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

|      | PATENT NO.  | KIND       | DATE     | APPLICATION NO. | DATE     |
|------|---|------------|----------|-----------------|----------|
| PI   | WO 2002018404   | A2         | 20020307 | WO 2001-EP9633  | 20010821 |
|      | W: AE, AG, AL, AM, AT, AU, AZ; BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM |            |          |                 |          |
|      | RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG  |            |          |                 |          |
|      | AU 2001095497   | A5         | 20020313 | AU 2001-95497   | 20010821 |
| PRAI | GB 2000-21285   | A          | 20000830 |                 |          |
|      | GB 2000-26611   | A          | 20001031 |                 |          |
|      | WO 2001-EP9633  | W          | 20010821 |                 |          |
| OS   | MARPAT  | 136:217007 |          |                 |          |



AB Nucleosides I , wherein R1 is hydrogen, hydroxy, alkyl, hydroxyalkyl, alkoxy, halogen, cyano, isocyano or azido; R2 is hydrogen, hydroxy, alkoxy, chlorine, bromine or iodine; R3 is hydrogen; or R2 and R3 together represent =CH<sub>2</sub>; or R2 and R3 represent fluorine; X is O, S or CH<sub>2</sub>; B is a substituted purine base, were prep'd. as inhibitors of subgenomic hepatitis C virus (HCV) RNA replication. Thus, nucleoside II was prep'd. and tested for the inhibition of HCV RNA replication (EC<sub>50</sub> = 0.6 .mu.M).

IT 26563-01-9P 125217-37-0P 129885-95-6P

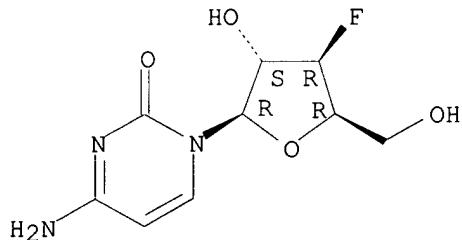
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of antiviral nucleoside derivs. as inhibitors of subgenomic hepatitis C virus RNA replication)

RN 26563-01-9 CAPPLUS

CN 2(1H)-Pyrimidinone, 4-amino-1-(3-deoxy-3-fluoro-.beta.-D-xylofuranosyl)-(9CI) (CA INDEX NAME)

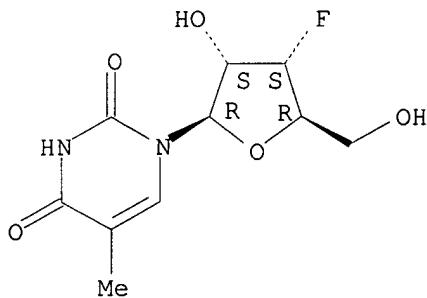
Absolute stereochemistry.



RN 125217-37-0 CAPPLUS

CN Uridine, 3'-deoxy-3'-fluoro-5-methyl- (9CI) (CA INDEX NAME)

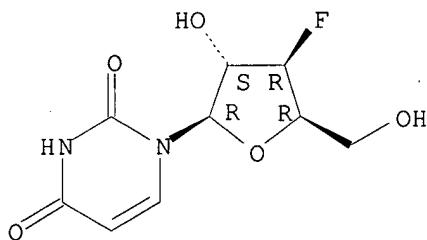
Absolute stereochemistry.



RN 129885-95-6 CAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, 1-(3-deoxy-3-fluoro-.beta.-D-xylofuranosyl)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.



L4 ANSWER 5 OF 9 CAPLUS COPYRIGHT 2002 ACS

AN 2001:617773 CAPLUS

DN 135:175346

TI Method for the treatment or prevention of flavivirus infections using nucleoside analogues

IN Ismaili, Hicham Moulay Alaoui; Cheng, Yun-Xing; Lavallee, Jean-Francois; Siddiqui, Arshad; Storer, Richard

PA Biochem Pharma Inc., Can.

SO PCT Int. Appl., 51 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

|      | PATENT NO.  | KIND | DATE     | APPLICATION NO. | DATE     |
|------|---|------|----------|-----------------|----------|
| PI   | WO 2001060315   | A2   | 20010823 | WO 2001-CA197   | 20010219 |
|      | W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM |      |          |                 |          |
|      | RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG  |      |          |                 |          |
|      | AU 2001035278   | A5   | 20010827 | AU 2001-35278   | 20010219 |
|      | US 2002019363   | A1   | 20020214 | US 2001-785235  | 20010220 |
| PRAI | US 2000-183349P   | P    | 20000218 |                 |          |
|      | WO 2001-CA197   | W    | 20010219 |                 |          |

OS MARPAT 135:175346

AB The present invention relates to a method for the treatment or prevention of Flavivirus infections using nucleoside analogs in a host comprising administering a therapeutically effective amt. of the nucleoside analog or

IT a pharmaceutically acceptable salt thereof.

70580-87-9 85708-20-9 123402-20-0

123402-25-5

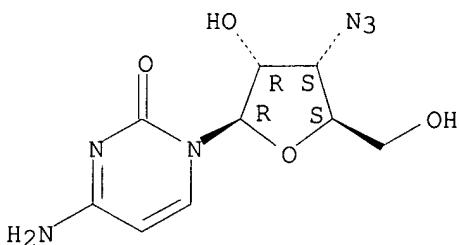
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(method for treatment or prevention of flavivirus infections using nucleoside analogs and their combination with other agents in relation to hepatitis C virus RNA-dependent RNA polymerase (NS5B protein))

RN 70580-87-9 CAPLUS

CN Cytidine, 3'-azido-3'-deoxy- (9CI) (CA INDEX NAME)

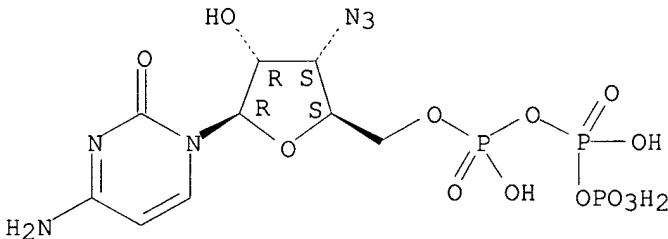
Absolute stereochemistry.



RN 85708-20-9 CAPLUS

CN Cytidine 5'-(tetrahydrogen triphosphate), 3'-azido-3'-deoxy- (9CI) (CA INDEX NAME)

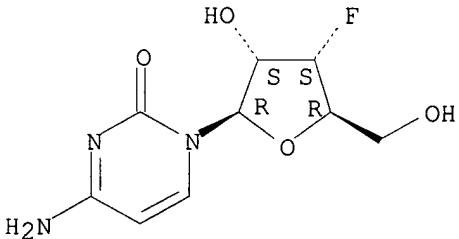
Absolute stereochemistry.



RN 123402-20-0 CAPLUS

CN Cytidine, 3'-deoxy-3'-fluoro- (9CI) (CA INDEX NAME)

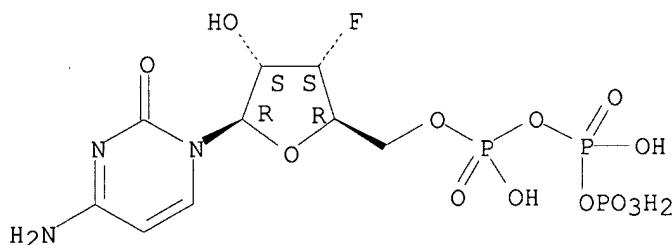
Absolute stereochemistry.



RN 123402-25-5 CAPLUS

CN Cytidine 5'-(tetrahydrogen triphosphate), 3'-deoxy-3'-fluoro- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L4 ANSWER 6 OF 9 CAPLUS COPYRIGHT 2002 ACS

AN 1990:36387 CAPLUS

DN 112:36387

TI Preparation of D-arabino- and ribofuranosylpurine and pyrimidine nucleosides for treatment of retrovirus infections

PA Aktieselskabet Atlas, Swed.

SO Jpn. Kokai Tokkyo Koho, 21 pp.

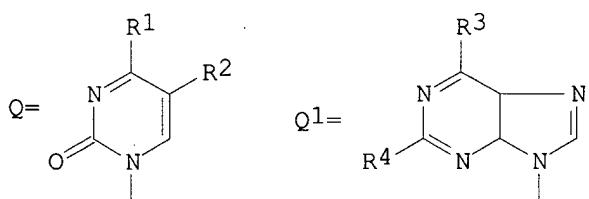
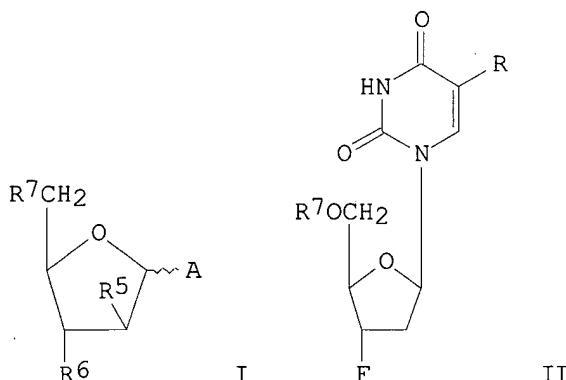
CODEN: JKXXAF

DT Patent

LA Japanese

FAN.CNT 2

|      | PATENT NO.  | KIND      | DATE     | APPLICATION NO. | DATE     |
|------|---|-----------|----------|-----------------|----------|
| PI   | JP 01151595   | A2        | 19890614 | JP 1988-276363  | 19881102 |
|      | EP 322384   | A1        | 19890628 | EP 1988-850370  | 19881027 |
|      | EP 322384   | B1        | 19960313 |                 |          |
|      | R: AT, BE, CH, DE, ES, FR, GB, GR, IT, LI, LU, NL, SE<br>AT 135363<br>DK 8806029<br>AU 8824522<br>AU 615681 | E         | 19960315 | AT 1988-850370  | 19881027 |
|      |   | A         | 19890504 | DK 1988-6029    | 19881028 |
|      |   | A1        | 19890504 | AU 1988-24522   | 19881031 |
|      |   | B2        | 19911010 |                 |          |
| PRAI | SE 1987-4298  |           | 19871103 |                 |          |
| OS   | MARPAT  | 112:36387 |          |                 |          |
| GI   |   |           |          |                 |          |



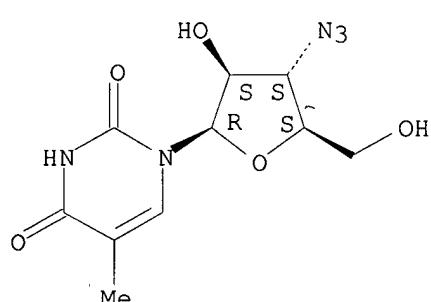
AB The title nucleosides [I; A = O, Q1; R1 = OH, NH2; R2 = H, F, Cl, Br, iodo, CF<sub>3</sub>, Me, Et, Bu, Me<sub>2</sub>CH, cyclopropyl, CH<sub>2</sub>OH, CH<sub>2</sub>SH, CH<sub>2</sub>OMe, CHMe<sub>2</sub>OH, CH<sub>2</sub>SM<sub>e</sub>, CH:CH<sub>2</sub>, CH:CHMe, CH:CHCF<sub>3</sub>, CMe:CH<sub>2</sub>, CH<sub>2</sub>CH:CH<sub>2</sub>, C.tplbond.CH, C.tplbond.CMe, C.tplbond.CCF<sub>3</sub>, CH<sub>2</sub>C.tplbond.CH; R3, R4 = H, OH, NH<sub>2</sub>; R5 = H, O, OMe; R6 = H, F, Cl, Br, iodo, OMe, cyano, C.tplbond.CH, N3; R7 = F, Cl, Br, iodo, OH, OR<sub>8</sub>, O<sub>2</sub>CR<sub>9</sub>, O<sub>2</sub>CR<sub>10</sub>, OSO<sub>2</sub>R<sub>10</sub>, PO<sub>3</sub>H; R8 = C<sub>1-6</sub> alkyl, (un)substituted arylalkyl; R9 = H, R10 = C<sub>1-17</sub> alkyl, (un)substituted arylalkyl or aryl; with various proviso that, e.g. (a) when R5 = H, R6 .noteq. H, N3 and (b) when R5 = H, R7 = OH, A = thymine, cytosine, .beta.-adenine or .beta.-guanidine, R6 .noteq. F], more specifically (II; R = Pr; R7 = H) (III) and their pharmacol. acceptable salt are prep'd. for the treatment of infection with retrovirus [e.g. human immunodeficiency virus (HIV)] or hepatitis B virus in mammals and humans. Thus, MeC(OSiMe<sub>3</sub>):NSiMe<sub>3</sub> was added to a suspension of 5-propyluracil and 3'-fluoro-3'-deoxythymidine in MeCN. After stirring 1 h, CF<sub>3</sub>SO<sub>3</sub>SiMe<sub>3</sub> was added and the resulting mixt. was stirred 138 h at room temp., evapd. in vacuo, and treated with H<sub>2</sub>O to give, after filtration and purifn. by HPLC on a C<sub>18</sub>-column, 7% III. III, II (R = Et, R7 = H), II (R = R7 = H), and II (R = Me, R7 = Ac) in vitro inhibited the HIV infection of H9 cells with IC<sub>50</sub> values of 1, <1, 0.5, and <0.01 .mu.M, resp.

IT 99614-77-4P 124493-83-0P  
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)  
 (prep'n. of, as virucide)

RN 99614-77-4 CAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, 1-(3-azido-3-deoxy-.beta.-D-arabinofuranosyl)-5-methyl- (9CI) (CA INDEX NAME)

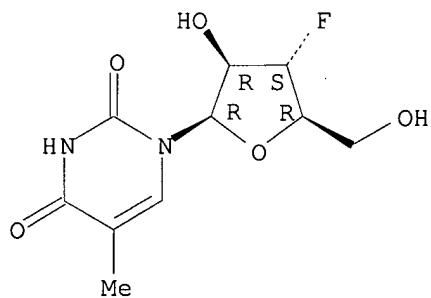
Absolute stereochemistry.



RN 124493-83-0 CAPLUS

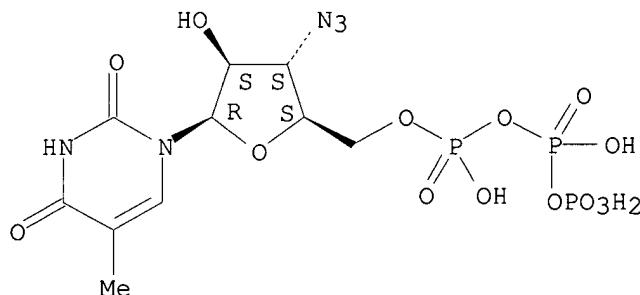
CN 2,4(1H,3H)-Pyrimidinedione, 1-(3-deoxy-3-fluoro-.beta.-D-arabinofuranosyl)-5-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L4 ANSWER 7 OF 9 CAPLUS COPYRIGHT 2002 ACS  
 AN 1989:526519 CAPLUS  
 DN 111:126519  
 TI Inhibition of the replication of human **hepatitis** B virus  
 AU Tsibinogin, V. V.; Kraevskii, A. A.; Bibilashvili, R. Sh.; Grens, E.;  
 Kiselev, L. L.  
 CS Inst. Org. Synth., Riga, 226006, USSR  
 SO Molekulyarnaya Biologiya (Moscow) (1989), 23(4), 983-7  
 CODEN: MOBIBO; ISSN: 0026-8984  
 DT Journal  
 LA Russian  
 AB Several nucleoside 5'-triphosphate analogs were investigated as inhibitors of human **hepatitis** B virus replication. Different analogs inhibited DNA synthesis differently, 3'-azido-2',3'-dideoxythymidine 5'-triphosphate being the most active compd. This inhibitor blocked DNA synthesis by 50% at an inhibitor:substrate molar ratio of 1:8, and by 80% at 1:1. The hypothesis is formulated that 3'-azido-2',3'-deoxythymidine 5'-triphosphate inhibits RNA-directed viral DNA replication due to incorporation of this compd. into the 3'-termini of newly synthesized DNA chains.  
 IT 99614-92-3  
 RL: BIOL (Biological study)  
 (hepatitis B virus of humans replication inhibition by)  
 RN 99614-92-3 CAPLUS  
 CN 2,4(1H,3H)-Pyrimidinedione, 1-[3-azido-3-deoxy-5-O-[hydroxy[[hydroxy(phosphonoxy)phosphinyl]oxy]phosphinyl]-.beta.-D-arabinofuranosyl]-5-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L4 ANSWER 8 OF 9 USPATFULL  
 AN 2002:32541 USPATFULL  
 TI Method for the treatment or prevention of flavivirus infections using nucleoside analogues  
 IN Ismaili, Hicham Moulay Alaoui, Montreal, CANADA  
 Cheng, Yun-Xing, Dollard-des-Ormeaux, CANADA  
 Lavallee, Jean-Francois, Bellefeuille, CANADA  
 Siddiqui, Arshad, Dollard-des-Ormeaux, CANADA  
 Storer, Richard, Baie d'Urfe, CANADA  
 PI US 2002019363 A1 20020214  
 AI US 2001-785235 A1 20010220 (9)  
 PRAI US 2000-183349P 20000218 (60)  
 DT Utility  
 FS APPLICATION  
 LREP MILLEN, WHITE, ZELANO & BRANIGAN, PC, 2200 CLARENDON BLVD, SUITE 1400,  
 ARLINGTON, VA, 22201  
 CLMN Number of Claims: 18  
 ECL Exemplary Claim: 1  
 DRWN No Drawings

LN.CNT 1165

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB The present invention relates to a method for the treatment or prevention of Flavivirus infections using nucleoside analogues in a host comprising administering a therapeutically effective amount of a compound having the formula I or a pharmaceutically acceptable salt thereof.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT 70580-87-9 85708-20-9 123402-20-0

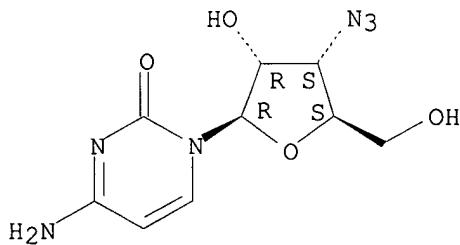
123402-25-5

(method for treatment or prevention of flavivirus infections using nucleoside analogs and their combination with other agents in relation to hepatitis C virus RNA-dependent RNA polymerase (NS5B protein))

RN 70580-87-9 USPATFULL

CN Cytidine, 3'-azido-3'-deoxy- (9CI) (CA INDEX NAME)

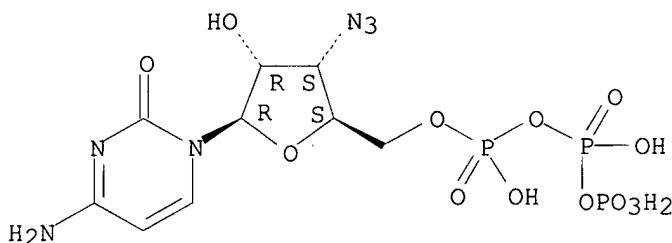
Absolute stereochemistry.



RN 85708-20-9 USPATFULL

CN Cytidine 5'-(tetrahydrogen triphosphate), 3'-azido-3'-deoxy- (9CI) (CA INDEX NAME)

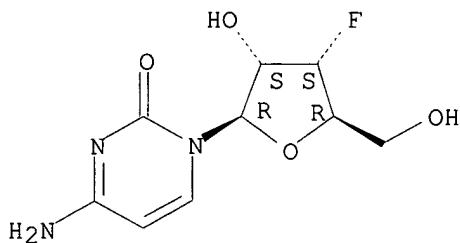
Absolute stereochemistry.



RN 123402-20-0 USPATFULL

CN Cytidine, 3'-deoxy-3'-fluoro- (9CI) (CA INDEX NAME)

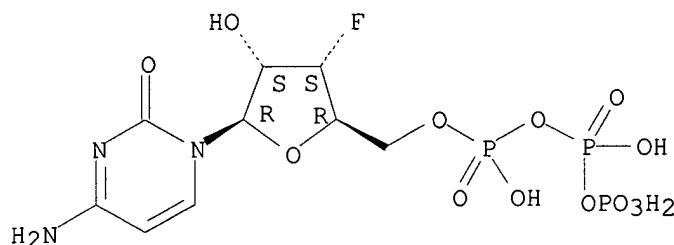
Absolute stereochemistry.



RN 123402-25-5 USPATFULL

CN Cytidine 5'-(tetrahydrogen triphosphate), 3'-deoxy-3'-fluoro- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L4 ANSWER 9 OF 9 USPATFULL

AN 96:29544 USPATFULL

TI 1-(3'-fluoro-2',3'-dideoxy-.beta.-D-ribofuranosyl)-5-substituted pyrimidine nucleosides

IN Johansson, Karl N. G., Enhorna, Sweden

Lindborg, BjoG., Avsjo, Sweden

Norinder, Ulf, Sodertalje all of, Sweden

Stening, Goran B., Sodertalje all of, Sweden

PA Medivir AB, Huddinge, Sweden (non-U.S. corporation)

PI US 5506215 19960409

AI US 1994-354769 19941212 (8)

RLI Continuation-in-part of Ser. No. US 1991-802706, filed on 6 Dec 1991, now abandoned which is a continuation of Ser. No. US 1990-518495, filed on 3 May 1990, now abandoned which is a continuation-in-part of Ser. No. US 1988-266402, filed on 2 Nov 1988, now abandoned

PRAI SE 1987-4298 19871103

DT Utility

FS Granted

EXNAM Primary Examiner: Kunz, Gary L.

LREP Birch, Stewart, Kolasch & Birch

CLMN Number of Claims: 6

ECL Exemplary Claim: 1

DRWN No Drawings

LN.CNT 1253

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB A 2',3'-dideoxy-3'-fluoro-pyrimidine nucleoside having the formula: ##STR1## wherein R.sup.1 is OH or NH.sub.2 ;

R.sup.2 is CF.sub.3, CH.sub.2 CH.sub.2 CH.sub.3, ##STR2## CH.sub.2 OCH.sub.3, CH.sub.2 SCH.sub.3, CH.dbd.CH.sub.2 CH.dbd.CH--CH.sub.3, C.tbd.CH, C.tbd.C--CH.sub.3 or CH.sub.2 --C.tbd.CH;

or a pharmaceutically acceptable salt thereof.

These nucleoside analogs exhibit antiviral activity against HIV.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

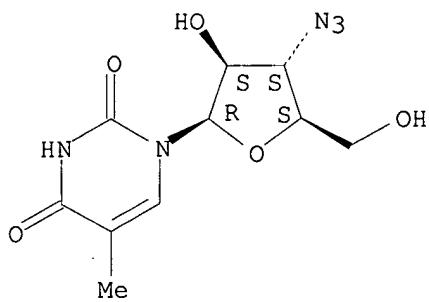
IT 99614-77-4P 124493-83-0P 178374-50-0P

(prepn. of (fluorodideoxy-.beta.-D-ribofuranosyl)pyrimidine nucleosides as antiviral agents against HIV)

RN 99614-77-4 USPATFULL

CN 2,4(1H,3H)-Pyrimidinedione, 1-(3-azido-3-deoxy-.beta.-D-arabinofuranosyl)-5-methyl- (9CI) (CA INDEX NAME)

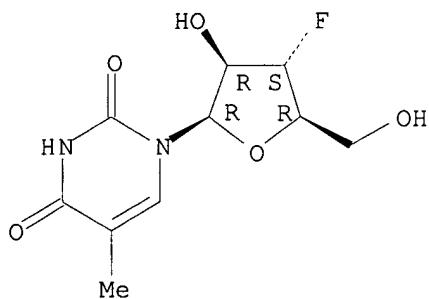
Absolute stereochemistry.



RN 124493-83-0 USPATFULL

CN 2,4(1H,3H)-Pyrimidinedione, 1-(3-deoxy-3-fluoro-.beta.-D-arabinofuranosyl)-5-methyl- (9CI) (CA INDEX NAME)

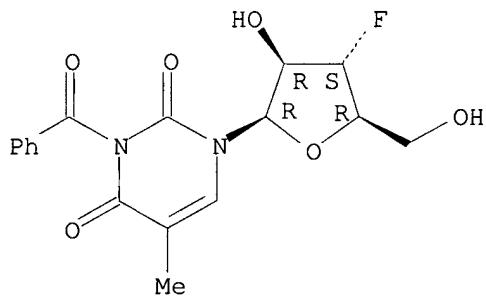
Absolute stereochemistry.



RN 178374-50-0 USPATFULL

CN 2,4(1H,3H)-Pyrimidinedione, 3-benzoyl-1-(3-deoxy-3-fluoro-.beta.-D-arabinofuranosyl)-5-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



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